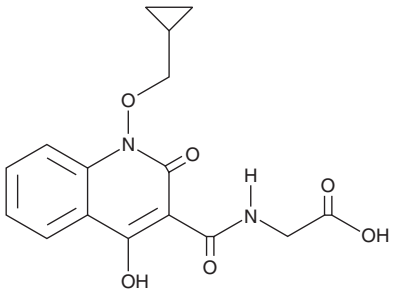
PRODUCT INFORMATION

Desidustat

Item No. 28074

CAS Registry No.:	1616690-16-4
Formal Name:	N-[[1-(cyclopropylmethoxy)-1,2-dihydro-4-hydroxy-2-oxo-3-quinolinyl]carbonyl]-glycine
MF:	$C_{16}H_{16}N_2O_6$
FW:	332.3
Purity:	≥98%
UV/Vis.:	λ_{max}: 233, 291, 335 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Desidustat is supplied as a crystalline solid. A stock solution may be made by dissolving the desidustat in the solvent of choice, which should be purged with an inert gas. Desidustat is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of desidustat in ethanol is approximately 1 mg/ml and approximately 3 mg/ml in DMSO and DMF.

Desidustat is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, desidustat should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Desidustat has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Desidustat is an inhibitor of hypoxia-inducible factor prolyl hydroxylase (HIF-PH).[1] It reduces levels of hypoxia-inducible factor-1α (HIF-1α), a transcription factor regulated by HIF-PH enzymes, in rat liver and kidney. Desidustat increases the expression of the red blood cell- and iron transport-related genes *Epo*, *Fpn1*, and *Hamp* in rat liver in a model of anemia induced by peptidoglycan-polysaccharide (PGPS).[2] It increases plasma levels of erythropoietin in rats by 10.3- to 40-fold when administered at doses of 15 and 30 mg/kg, respectively.[1] Desidustat (15 and 30 mg/kg) also increases plasma levels of erythropoietin and hemoglobin, as well as the number of circulating red blood cells, in nephrectomized rats in a model of chronic kidney disease-induced anemia. It increases hemoglobin levels and the number of circulating red blood cells in a mouse model of anemia induced by the DNA-crosslinking agent cisplatin (Item No. 13119).

References

1. Jain, M.R., Joharapurkar, A.A., Pandya, V., *et al.* Pharmacological characterization of ZYAN1, a novel prolyl hydroxylase inhibitor for the treatment of anemia. *Drug Res. (Stuttg.)* **66(2)**, 107-112 (2016).
2. Jain, M., Joharapurkar, A., Patel, V., *et al.* Pharmacological inhibition of prolyl hydroxylase protects against inflammation-induced anemia via efficient erythropoiesis and hepcidin downregulation. *Eur. J. Pharmacol.* **843**, 113-120 (2019).

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